

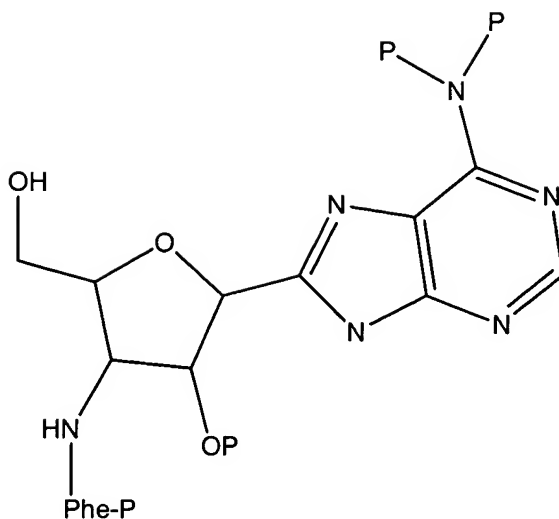
1. A compound of formula (I),



5 wherein,

X is absent or a label.

- 10 2. The compound of claim 1, wherein the label is a radioactive label.
3. The compound of claim 1, wherein the label is a fluorescence label.
4. The compound of claim 1, wherein the label is attached to the 5'-hydroxy  
15 group.
5. The compound of claim 1, wherein the label is  $^{32}\text{P}$ .
6. A method of making a compound of formula (I) in claim 1, the method  
20 comprising providing a compound of formula (II):



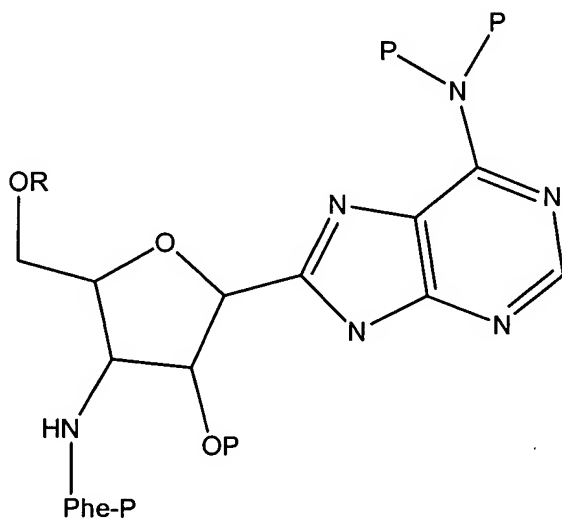
(II)

5 wherein

each P is independently an oxygen- or nitrogen-protecting group;

and converting it to a hydroxyl-group protected derivative of formula (III):

10



(III)

15

wherein

each R is an oxygen-protecting group; and

each P is independently an oxygen- or nitrogen-protecting group.

5           7.       The method of claim 6, wherein R is a silyl group.

8.       The method of claim 6, wherein R is tert-butyldiphenylsilyl or dimethoxytrityl.

10          9.       The method of claim 6, wherein P is benzyl.

10.       The method of claim 6, further comprising converting the hydroxyl-group protected derivative to a compound of formula (I).

15          11.       The method of claim 6, further comprising removing the protecting group from the hydroxyl-group protected derivative and attaching a label to the resulting hydroxyl-group.

20          12.       The method of claim 11, further wherein the label is attached by reaction of  $\gamma$ - $^{32}\text{P}$ -ATP with the resulting hydroxyl-group.

13.       The method of claim 11, wherein the label is a radioactive label.

25          14.       The method of claim 11, wherein the label is  $^{32}\text{P}$ .

15.       A method for monitoring peptide bond formation, the method comprising:  
providing a mixture comprising a peptidyl transferase, a peptidyl-tRNA analog, and an aminoacyl-tRNA analog of formula (I) in claim 1;  
incubating the mixture under conditions sufficient to enable peptide bond  
30 formation; and  
monitoring the mixture for peptide bond formation.

16. The method of claim 15, wherein the aminoacyl-tRNA analog is  $^{32}\text{p}^*\text{CpCpA-NH-Phe}$ .

5

17. The method of claim 15, wherein the mixture further comprises a test compound, and the method is used to monitor the effect of the test compound on peptide bond formation.

10 18. The method of claim 15, wherein the peptidyl-tRNA analog is an amino acid conjugated to an oligonucleotide.

15 19. The method of claim 15, wherein the peptidyl-tRNA analog is 5'-CCA-phenylalanine, 5'-CACCA-phenylalanine, 5'-CACCA-methionine, 5'-CAACCA-formylmethionine, or tRNA-phenylalanine.

20. The method of claim 15, wherein the aminoacyl-tRNA analog is capable of being detected by polyacrylamide gel electrophoresis (PAGE).

20 21. The method of claim 15, wherein the peptidyl transferase is a ribosomal subunit.

22. A method for screening test compounds, comprising:

25 (a) incubating a mixture comprising a peptidyl transferase, a peptidyl-tRNA analog, an aminoacyl-tRNA analog of formula (I) in claim 1, and one or more test compounds; and

(b) determining the rate of transfer of the peptidyl moiety of the peptidyl-tRNA analog to the free amino group of the aminoacyl-tRNA analog for each test compound.

30 23. The method of claim 22, wherein the screening is performed in a high-throughput format.

24. The method of claim 22, wherein the test compounds are members of a combinatorial library.

5           25. The method of claim 22, wherein the peptidyl transferase is a ribosomal subunit.

26. A method for determining whether a test compound is a candidate antibacterial agent, the method comprising:

10           (a) incubating a mixture comprising a peptidyl transferase, a peptidyl-tRNA analog, an aminoacyl-tRNA analog of formula (I) in claim 1, and one or more test compounds;

             (b) determining the rate of transfer of the peptidyl moiety of the peptidyl-tRNA analog to the free amino group of the aminoacyl-tRNA analog for each test compound;  
15           and

             (c) identifying one or more test compounds that inhibit peptidyl transferase activity, wherein a compound that inhibits peptidyl transferase activity is a candidate antibacterial agent.

20           27. A kit comprising a compound of formula (I) in claim 1 and instructions for using the compound in an assay to determine peptidyl transferase activity.

28. An inhibitor of peptidyl transferase activity identified by a method comprising:

25           (a) incubating a mixture comprising a peptidyl transferase, a peptidyl-tRNA analog, an aminoacyl-tRNA analog of formula (I) of claim 1, and one or more test compounds, under conditions allowing transfer of the peptidyl moiety of the peptidyl-tRNA analog to the aminoacyl-tRNA analog;

             (b) determining the rate of transfer of the peptidyl moiety of the peptidyl-tRNA  
30           analog to the free amino group of the aminoacyl-tRNA analog for each test compound;  
             and

(c) identifying one or more test compounds that inhibit peptidyl transferase activity, wherein a test compound so identified is an inhibitor of peptidyl transferase activity.